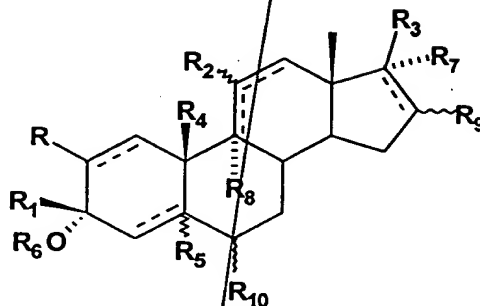


***What Is Claimed Is:***

1. A compound of the formula:



or a physiologically acceptable 3-ester thereof; wherein

R is one of hydrogen, amino, thio, sulfinyl, sulfonyl, halogen, lower alkoxy, alkyl, substituted alkyl, alkenyl, alkynyl or substituted alkynyl;

R<sub>1</sub> is one of hydrogen, alkyl, alkenyl, alkynyl, haloalkyl, dihaloalkyl, trihaloalkyl, optionally substituted aralkynyl, alkoxyalkyl, aminoalkyl, cyano, cyanoalkyl, thiocynoalkyl, azidoalkyl, optionally substituted arylalkyl, arylalkenyl, optionally substituted aryl, optionally substituted aralkylalkynyl, alkanoyloxyalkynyl, optionally substituted heteroaryloxyalkynyl, oxoalkynyl or a ketal thereof, cyanoalkynyl, optionally substituted heteroarylalkynyl, hydroxyalkynyl, alkoxyalkynyl, aminoalkynyl, acylaminoalkynyl, mercaptoalkynyl, hydroxyalkynyl dioic acid hemi-ester or a salt thereof, or alkynyloxyalkynyl;

R<sub>2</sub> is one of hydrogen, hydroxy, alkoxy, alkanoyloxy, carbalkoxy, a keto group or amino group;

R<sub>3</sub> is one of hydrogen, alkoxy, substituted alkoxy, alkenyloxy, aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, sulfinyl, sulfonyl, thio, sulfonamido, alkynyloxy, optionally substituted aryloxy, optionally substituted arylalkyloxy, an optionally substituted 1,3-dioxolan-4-one of an acetyl group, an optionally substituted 1,3-dioxan-4-one of an acetyl group, an

optionally substituted 1,3-oxathiolan-5-one of an acetyl group, an optionally substituted 1,3-oxathioan-5-one of an acetyl group,  $-O-C(O)-NR'R''$ ,  $-C(O)-CH_2-Y-G$ ,  $-C(O)-CH_2-O-D$ ,  $-C(O)-CH_2-O-E$ ,  $-C(O)-CH_2-Z-G$ ,  $-C(O)-CH_2-Y'-Z-G$ , or  $-C(O)-CH_2-Y'-Z-A$ , wherein

5  $R'$  and  $R''$  independently represent hydrogen or optionally substituted alkyl, or taken together with the nitrogen to which they are attached form a 3- to 6-membered heterocyclic ring;

$Y$  is one of S, SO or  $SO_2$ ;

$Y'$  is one of O, S, SO or  $SO_2$ ;

10  $Z$  is one of alkyl, alkenyl or alkynyl;

$G$  is one of C-attached heteroaryl, optionally substituted aryl, a quaternary ammonium salt of a nitrogen containing heteroaryl group or a quaternary salt of an amino substituted aryl group;

15  $D$  is C-attached heteroaryl or a quaternary ammonium salt of a nitrogen containing heteroaryl group;

$E$  is optionally substituted aryl or a quaternary ammonium salt of an amino substituted aryl group;

20  $A$  is one of amino, amido, cyano, thiocyno, azido, nitro, hydroxy, halo, carboxyl, alkoxy, alkoxycarbonyl, alkanoyloxy, hydrogen, sulfate, thiosulfate, sulfonate, alkylthio, alkylsulfinyl, alkylsulfonyl or mercapto;

$R_4$  is one of hydrogen or lower alkyl,

$R_5$  is hydrogen, or when a double bond is present between C4 and C5 of the steroid ring system, then  $R_5$  is not present;

$R_6$  is one of hydrogen, alkanoyl, aminocarbonyl or alkoxycarbonyl;

25  $R_7$  is one of hydrogen, halogen, hydroxy, alkoxy, alkanoyloxy or carbalkoxyl;

$R_8$  is one of hydrogen or halogen;

$R_9$  is one of hydrogen, halogen, alkyl, alkoxy, arylalkoxy or amino;

30  $R_{10}$  is one of hydrogen, halogen, alkyl, haloalkyl, hydroxy, alkoxy, alkanoyloxy, carbalkoxyl, cyano, thiocyno or mercapto; and

the dotted lines indicate that a single or double bond may be present;  
provided that:

when  $R_3$  is  $C_{1-3}$  alkoxy or  $C_{1-6}$  alkenyloxy and R is hydrogen or  $\alpha$ -methyl,  
then  $R_1$  is other than hydrogen; or

5 when  $R_3$  is  $C_{1-4}$ alkoxy( $C_{1-4}$ )alkoxy, then  $R_1$  is other than hydrogen or  
1-propynyl; or

when  $R_3$  is hydrogen and  $R_2$  is hydrogen, hydroxy, a keto group or an  
amino group, then  $R_1$  is not hydrogen, alkyl or cyanoalkyl; or

10 when  $R_3$  is aminocarbonyl, monoalkylaminocarbonyl,  
dialkylaminocarbonyl, then  $R_1$  is not hydrogen or alkyl; or

when  $R_3$  is  $-C(O)-CH_2-Y-G$ , and G is C-attached heteroaryl or optionally  
substituted aryl, then  $R_1$  is other than hydrogen or alkyl; or

when  $R_3$  is  $-C(O)-CH_2-O-E$ , and E is optionally substituted aryl, then  $R_1$   
is other than hydrogen; or

15 when  $R_3$  is  $-C(O)-CH_2-Y'-Z-G$ , and  $Y'$  is O, and G is aryl, then  $R_1$  is other  
than hydrogen; or

when  $R_3$  is  $-C(O)-CH_2-Y'-Z-G$ , and  $Y'$  is S, SO, or  $SO_2$ , and G is aryl,  
then  $R_1$  is other than hydrogen or alkyl; or

when  $R_3$  is  $-C(O)-CH_2-Z-G$ , then  $R_1$  is other than hydrogen; or

20 when  $R_3$  is  $-C(O)-CH_2-Y'-Z-A$ , and  $Y'$  is O, and A is hydrogen, halo,  
carboxyl, alkoxycarbonyl, alkoxy, cyano or amino, then  $R_1$  is other than  
hydrogen; or

25 when  $R_3$  is  $-C(O)-CH_2-Y'-Z-A$ , and  $Y'$  is S,  $SO$ , or  $SO_2$ , and A is  
hydrogen, halo, carboxyl, alkoxycarbonyl, or amino, then  $R_1$  is other than  
hydrogen or alkyl.

2. A compound of claim 1, wherein

the bond between C4 and C5 of the steroid ring system is a single bond;

R is one of hydrogen, halogen, lower alkoxy, alkyl, substituted alkyl,  
alkynyl or substituted alkynyl;

R<sub>3</sub> is one of hydrogen, alkoxy, substituted alkoxy, alkenyloxy, alkynyloxy, optionally substituted aryloxy, optionally substituted arylalkyloxy, -O-C(O)-NR'R'', -C(O)-CH<sub>2</sub>-Y-G, -C(O)-CH-O-D<sub>2</sub>, -C(O)-CH-O-E<sub>2</sub>, -C(O)-CH<sub>2</sub>-Y'-Z-G, or -C(O)-CH<sub>2</sub>-Y'-Z-A, wherein

R' and R'' independently represent hydrogen or optionally substituted alkyl, or taken together with the nitrogen to which they are attached form a 5- or 6-membered heterocyclic ring; and

R<sub>5</sub> is hydrogen.

3. A compound of claim 1 or claim 2, with the provisos that:

when R<sub>3</sub> is C<sub>1-6</sub> alkoxy or C<sub>1-6</sub> alkenyloxy, then R<sub>1</sub> is other than hydrogen or methyl; or

when R<sub>3</sub> is hydrogen and R<sub>2</sub> is hydrogen, hydroxy, a keto or an amino group, then R<sub>1</sub> is not hydrogen, alkyl or cyanoalkyl; or

when R<sub>3</sub> is -C(O)-CH<sub>2</sub>-Y-G, and G is C-attached heteroaryl or optionally substituted aryl, then R<sub>1</sub> is other than hydrogen or alkyl; or

when R<sub>3</sub> is -C(O)-CH<sub>2</sub>-O-E, and E is optionally substituted aryl, then R<sub>1</sub> is other than hydrogen or methyl; or

when R<sub>3</sub> is -C(O)-CH<sub>2</sub>-Y'-Z-G, and G is optionally substituted aryl, then R<sub>1</sub> is other than hydrogen or alkyl; or

when R<sub>3</sub> is -C(O)-CH<sub>2</sub>-Y'-Z-A, and A is hydrogen, halo, carboxyl, alkoxycarbonyl, alkoxy, cyano or amino, then R<sub>1</sub> is other than hydrogen or alkyl.

4. A compound of claim 1, wherein:

R is one of hydrogen, amino, thio, sulfinyl, sulfonyl, halogen, lower alkoxy, alkyl, substituted alkyl, alkenyl, alkynyl or substituted alkynyl;

R<sub>1</sub> is one of hydrogen, alkyl, alkenyl, alkynyl, haloalkyl, dihaloalkyl, trihaloalkyl, optionally substituted aralkynyl, alkoxyalkyl, aminoalkyl, cyano, cyanoalkyl, thiocynoalkyl, azidoalkyl, optionally substituted arylalkyl, arylalkenyl, optionally substituted aryl, optionally substituted aralkylalkynyl,

alkanoyloxyalkynyl, optionally substituted heteroaryloxyalkynyl, oxoalkynyl or a ketal thereof, cyanoalkynyl, optionally substituted heteroarylalkynyl, hydroxyalkynyl, alkoxyalkynyl, aminoalkynyl, acylaminoalkynyl, mercaptoalkynyl, hydroxyalkynyl dioic acid hemi-ester or a salt thereof, or alkynyloxyalkynyl;

$R_2$  is one of hydrogen, alkoxy, a keto group or a dimethylamino group;

$R_3$  is one of alkoxy, substituted alkoxy, alkenyloxy, alkynyloxy, optionally substituted aryloxy, optionally substituted arylalkoxy or  $-OC(O)NR'R''$ , wherein  $R'$  and  $R''$  independently represent hydrogen, optionally substituted alkyl, or taken together form a 3- to 6-membered heterocyclic ring;

$R_4$  is one of hydrogen or methyl;

$R_5, R_6, R_7, R_8, R_9$  and  $R_{10}$  are each hydrogen; and

the dotted lines all represent single bonds;

provided that

when  $R_3$  is  $C_{1-6}$  alkoxy or  $C_{1-6}$  alkenyloxy and  $R$  is hydrogen or  $\alpha$ -methyl, then  $R_1$  is other than hydrogen; or

when  $R_3$  is  $C_{1-4}$  alkoxy( $C_{1-4}$ )alkoxy, then  $R_1$  is other than hydrogen or 1-propynyl.

5. A compound of claim 4, wherein:

$R$  is one of hydrogen, halogen, lower alkoxy, alkyl, substituted alkyl, alkynyl or substituted alkynyl; and

$R_3$  is one of alkoxy, substituted alkoxy, alkenyloxy, alkynyloxy, optionally substituted aryloxy, optionally substituted arylalkoxy or  $-OC(O)NR'R''$ , wherein  $R'$  and  $R''$  independently represent hydrogen, optionally substituted alkyl, or taken together form a 5- or 6-membered heterocyclic ring.

6. A compound of claim 5, wherein  $R_3$  is alkoxy.

7. A compound of claim 6, wherein  $R_1$  is substituted arylethynyl.

8. A compound of claim 7, which is 3 $\alpha$ -hydroxy-3 $\beta$ -(4'-nitrophenyl)ethynyl-17 $\beta$ -methoxy-5 $\beta$ -androstane; 3 $\alpha$ -hydroxy-3 $\beta$ -(4'-methoxyphenyl)ethynyl-17 $\beta$ -methoxy-5 $\beta$ -androstane; 3 $\alpha$ -hydroxy-3 $\beta$ -[2-(3',4'-dimethoxyphenyl)ethynyl]-17 $\beta$ -methoxy-5 $\beta$ -androstane; 3 $\alpha$ -hydroxy-3 $\beta$ -(4'-methylphenyl)ethynyl-17 $\beta$ -methoxy-5 $\beta$ -androstane; 3 $\beta$ -(4'-trifluoromethylphenyl)ethynyl-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\beta$ -androstane; 3 $\alpha$ -hydroxy-3 $\beta$ -(2'-methoxyphenyl)ethynyl-17 $\beta$ -methoxy-5 $\beta$ -androstane; 3 $\beta$ -(4'-dimethylaminophenyl)ethynyl-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\beta$ -androstane; 3 $\beta$ -(4'-acetylphenyl)ethynyl-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\beta$ -androstane; 3 $\beta$ -(4'-chlorophenyl)ethynyl-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\beta$ -androstane; 3 $\beta$ -(4'-acetylphenyl)ethynyl-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\alpha$ -androstane; 3 $\beta$ -(4'-carboxyphenylethynyl)-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\beta$ -androstane ethyl ester; 3 $\alpha$ -hydroxy-3 $\beta$ -(4'-acetoxycetylphenyl)ethynyl-17 $\beta$ -methoxy-5 $\beta$ -androstane or 3 $\beta$ -(4'-cyanophenyl)ethynyl-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\beta$ -androstane.

9. A compound of claim 7, which is 3 $\beta$ -(4'-acetylphenylethynyl)-3 $\alpha$ -hydroxy-19-nor-17 $\beta$ -methoxy-5 $\beta$ -androstane; 3 $\beta$ -(4'-carboxyphenylethynyl)-3 $\alpha$ -hydroxy-19-nor-17 $\beta$ -methoxy-5 $\beta$ -androstane ethyl ester; 3 $\beta$ -(4'-carboxyphenylethynyl)-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\alpha$ -androstane ethyl ester; 3 $\beta$ -[4'-(N,N-diethylcarboxamido)phenyl]ethynyl-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\beta$ -androstane; or 3 $\beta$ -(4'-acetoxycetylphenylethynyl)-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\beta$ -androstane.

10. A compound of claim 6, wherein R<sub>1</sub> is one of optionally substituted aryl or optionally substituted arylalkyl.

11. A compound of claim 10, which is 3 $\alpha$ -hydroxy-3 $\beta$ -benzyl-17 $\beta$ -methoxy-5 $\beta$ -androstane; 3 $\alpha$ -hydroxy-3 $\beta$ -(2'-phenylethyl)-17 $\beta$ -methoxy-5 $\beta$ -androstane; 3 $\alpha$ -hydroxy-3 $\beta$ -(3'-phenylpropyl)-17 $\beta$ -methoxy-5 $\beta$ -androstane; 3 $\alpha$ -

hydroxy-3 $\beta$ -[2-(3',4'-dimethoxyphenyl)ethyl]-17 $\beta$ -methoxy-5 $\beta$ -androstane; or  
3 $\alpha$ -hydroxy-3 $\beta$ -phenyl-17 $\beta$ -methoxy-5 $\beta$ -androstane.

12. A compound of claim 6 wherein R<sub>1</sub> is one of cyanoalkynyl,  
oxoalkynyl, hydroxyalkynyl, or a physiologically acceptable ester of  
hydroxyalkynyl.

13. A compound of claim 12, which is 3 $\alpha$ -hydroxy-3 $\beta$ -(5'-cyano-1'-  
pentynyl)-17 $\beta$ -methoxy-5 $\beta$ -androstane; 3 $\alpha$ -hydroxy-3 $\beta$ -(4'-cyano-1'-butynyl)-  
17 $\beta$ -methoxy-5 $\beta$ -androstane; 3 $\alpha$ -hydroxy-3 $\beta$ -(6'-oxo-1'-heptynyl)-17 $\beta$ -methoxy-  
5 $\beta$ -androstane; 3 $\alpha$ -hydroxy-3 $\beta$ -(7'-oxo-1'-octynyl)-17 $\beta$ -methoxy-5 $\beta$ -androstane;  
3 $\alpha$ -hydroxy-3 $\beta$ -(5'-oxo-1'-hexynyl)-17 $\beta$ -methoxy-5 $\beta$ -androstane; 3 $\alpha$ -hydroxy-  
3 $\beta$ -(5'-oxo-1'-pentynyl)-17 $\beta$ -methoxy-5 $\beta$ -androstane; 3 $\beta$ -(4'(R/S)-  
hydroxypentynyl)-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\beta$ -androstane; 3 $\beta$ -(5'-(R/S)-  
hydroxyhexynyl)-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\beta$ -androstane; 3 $\beta$ -(5'-hydroxy-  
1'-pentynyl)-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\beta$ -androstane; 3 $\beta$ -(5'-hydroxy-1'-  
pentynyl)-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\beta$ -androstane hemisuccinate sodium salt;  
3 $\beta$ -(6'-hydroxy-1'-hexynyl)-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\beta$ -androstane; 3 $\beta$ -  
(6'-hydroxy-1'-hexynyl)-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\beta$ -androstane 6'-  
hemisuccinate sodium salt; 3 $\beta$ -(4'-hydroxy-1'-butynyl)-3 $\alpha$ -hydroxy-17 $\beta$ -  
methoxy-5 $\beta$ -androstane; 3 $\beta$ -(4'-hydroxy-1'-butynyl)-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-  
5 $\beta$ -androstane 4'-hemisuccinate sodium salt; 3 $\beta$ -(4'-hydroxy-1'-butynyl)-3 $\alpha$ -  
hydroxy-17 $\beta$ -methoxy-5 $\alpha$ -androstane; 3 $\beta$ -(4'-hydroxy-1'-butynyl)-3 $\alpha$ -hydroxy-  
17 $\beta$ -methoxy-5 $\alpha$ -androstane 4'-hemisuccinate sodium salt; 3 $\beta$ -(4'-hydroxy-1'-  
butynyl)-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\beta$ -19-norandrostane; 3 $\beta$ -(4'-hydroxy-1'-  
butynyl)-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\beta$ -19-norandrostane 4'-hemisuccinate  
sodium salt; 3 $\beta$ -(3'(R/S)-hydroxy-1'-butynyl)-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\alpha$ -  
androstane; or 3 $\beta$ -(3'-hydroxy-1'-propynyl)-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\beta$ -  
androstane.

14. A compound of claim 6, wherein  $R_1$  is one of alkanoyloxyalkynyl, alkynyloxyalkynyl or alkoxyalkynyl.

15. The compound of claim 14, which is  $3\beta$ -(3'-acetoxy-1'-propynyl)- $3\alpha$ -hydroxy- $17\beta$ -methoxy- $5\beta$ -androstane;  $3\beta$ -(4'-acetoxy-1'-butynyl)- $3\alpha$ -hydroxy- $17\beta$ -methoxy- $5\beta$ -androstane;  $3\beta$ -(4'-acetoxy-1'-butynyl)- $3\alpha$ -hydroxy- $17\beta$ -methoxy- $5\alpha$ -androstane;  $3\beta$ -(5'-acetoxy-1'-pentynyl)- $3\alpha$ -hydroxy- $17\beta$ -methoxy- $5\beta$ -androstane;  $3\beta$ -(6'-acetoxy-1'-hexynyl)- $3\alpha$ -hydroxy- $17\beta$ -methoxy- $5\beta$ -androstane;  $3\alpha$ -hydroxy- $3\beta$ -[3-(2'-propynyloxy)-1-propynyl]- $17\beta$ -methoxy- $5\beta$ -androstane;  $3\alpha$ -hydroxy- $3\beta$ -(3-methoxy-1-propynyl)- $17\beta$ -methoxy- $5\beta$ -androstane; or  $3\alpha$ -hydroxy- $3\beta$ -(3-methoxy-1-propynyl)- $17\beta$ -methoxy- $5\alpha$ -androstane.

16. A compound of claim 6, wherein  $R_1$  is one of heteroaryloxyalkynyl or heteroarylalkynyl.

17. A compound of claim 16, which is  $3\alpha$ -hydroxy- $3\beta$ -(2'-thienyl)ethynyl- $17\beta$ -methoxy- $5\beta$ -androstane;  $3\alpha$ -hydroxy- $3\beta$ -(2-pyridyl)ethynyl- $17\beta$ -methoxy- $5\beta$ -androstane;  $3\alpha$ -hydroxy- $3\beta$ -[3-(1'H-1,2,3-triazol-1'-yl)-1-propynyl]- $17\beta$ -methoxy- $5\beta$ -androstane;  $3\alpha$ -hydroxy- $3\beta$ -[3-(2'H-1,2,3-triazol-2'-yl)-1-propynyl]- $17\beta$ -methoxy- $5\beta$ -androstane;  $3\alpha$ -hydroxy- $3\beta$ -[3-(1'H-pyrazol-1'-yl)-1-propynyl]- $17\beta$ -methoxy- $5\beta$ -androstane;  $3\alpha$ -hydroxy- $3\beta$ -(5'-acetyl-2'-thienyl)ethynyl- $17\beta$ -methoxy- $5\beta$ -androstane;  $3\alpha$ -hydroxy- $3\beta$ -(4-pyridyl)ethynyl- $17\beta$ -methoxy- $5\beta$ -androstane.

18. A compound of claim 6, wherein  $R_1$  is alkynyl.

19. A compound of claim 18, which is  $3\beta$ -ethynyl- $3\alpha$ -hydroxy- $17\beta$ -methoxy- $5\beta$ -androstane;  $3\beta$ -butynyl- $3\alpha$ -hydroxy- $17\beta$ -methoxy- $5\beta$ -androstane;  $3\beta$ -ethynyl- $3\alpha$ -hydroxy- $17\beta$ -methoxy- $5\alpha$ -androstane;  $3\beta$ -pentynyl- $3\alpha$ -hydroxy-



17 $\beta$ -methoxy-5 $\beta$ -androstane; 3 $\beta$ -cyclopropylethynyl-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\beta$ -androstane; 3 $\beta$ -(but-3'-en-1'-ynyl)-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\beta$ -androstane; 3 $\beta$ -(3'-methylbut-3'-en-1'-ynyl)-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\beta$ -androstane; or 3 $\beta$ -hexynyl-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\beta$ -androstane.

5           20.     A compound of claim 6, wherein R<sub>1</sub> is one of alkyl, alkenyl, trihalomethyl, halomethyl, alkoxyalkyl or cyanoalkyl.

10           21.     A compound of claim 20, which is 3 $\beta$ -ethenyl-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\beta$ -androstane; 3 $\beta$ -ethenyl-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\alpha$ -androstane; 3 $\beta$ -methyl-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\beta$ -androstane; 3 $\beta$ -butyl-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\beta$ -androstane; 3 $\beta$ -methyl-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\alpha$ -androstane; 3 $\beta$ -pentyl-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\beta$ -androstane; 3 $\beta$ -hexyl-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\beta$ -androstane; 3 $\beta$ -trifluoromethyl-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\alpha$ -androstane; 3 $\beta$ -trifluoromethyl-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\beta$ -androstane; 3 $\beta$ -fluoromethyl-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\alpha$ -androstane; 3 $\beta$ -bromomethyl-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\alpha$ -androstane; 3 $\beta$ -iodomethyl-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\alpha$ -androstane; 3 $\beta$ -chloromethyl-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\alpha$ -androstane; 3 $\beta$ -methoxymethyl-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\alpha$ -androstane; 3 $\beta$ -ethoxymethyl-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\alpha$ -androstane; 3 $\beta$ -propoxymethyl-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\alpha$ -androstane; 3 $\beta$ -isopropoxymethyl-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\alpha$ -androstane; or 3 $\beta$ -cyanomethyl-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\alpha$ -androstane.

15           22.     A compound of claim 4, wherein R is alkoxy and R<sub>3</sub> is alkoxy.

20           23.     A compound of claim 22, which is 2 $\beta$ ,17 $\beta$ -dimethoxy-3 $\alpha$ -hydroxy-5 $\alpha$ -androstane; 2 $\beta$ -ethoxy-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\alpha$ -androstane; 2 $\beta$ -propoxy-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\alpha$ -androstane; or 2 $\beta$ -isopropoxy-3 $\alpha$ -hydroxy-17 $\beta$ -methoxy-5 $\alpha$ -androstane.

25

24. A compound of claim 1, wherein:

R is one of hydrogen, amino, thio, sulfinyl, sulfonyl, halogen, lower alkoxy, alkynyl or substituted alkynyl;

R<sub>1</sub> is one of hydrogen, alkyl, alkenyl, alkynyl, haloalkyl, dihaloalkyl, trihaloalkyl, optionally substituted aralkynyl, alkoxyalkyl, aminoalkyl, cyano, cyanoalkyl, thiocynoalkyl, azidoalkyl, optionally substituted arylalkyl, arylalkenyl, optionally substituted aryl, optionally substituted aralkylalkynyl, alkanoyloxyalkynyl, optionally substituted heteroaryloxyalkynyl, oxoalkynyl or a ketal thereof, cyanoalkynyl, optionally substituted heteroarylalkynyl, hydroxyalkynyl, alkoxyalkynyl, aminoalkynyl, acylaminoalkynyl, mercaptoalkynyl, hydroxyalkynyl dioic acid hemi-ester or a salt thereof, or alkynyloxyalkynyl;

R<sub>2</sub> is one of hydrogen, alkoxy, a keto group or a dimethylamino group;

R<sub>3</sub> is one of -C(O)-CH<sub>2</sub>-Y-G, -C(O)-CH<sub>2</sub>-O-D, -C(O)-CH<sub>2</sub>-O-E, -C(O)-CH<sub>2</sub>-Z-G, -C(O)-CH<sub>2</sub>-Y'-Z-G or -C(O)-CH<sub>2</sub>-Y'-Z-A;

Y is one of S, SO or SO<sub>2</sub>;

Y' is one of O, S, SO or SO<sub>2</sub>;

Z is one of alkyl, alkenyl or alkynyl;

G is one of C-attached heteroaryl, optionally substituted aryl, a quaternary ammonium salt of a nitrogen containing heteroaryl group or a quaternary ammonium salt of an amino substituted aryl group;

D is C-attached heteroaryl or a quaternary ammonium salt of a nitrogen containing heteroaryl group;

E is optionally substituted aryl or a quaternary ammonium salt of an amino substituted aryl group;

A is one of amino, amido, cyano, thiocyno, azido, nitro, hydroxy, halo, carboxyl, alkoxy, alkoxycarbonyl, alkanoyloxy, hydrogen, sulfate, thiosulfate, sulfonate, alkylthio, alkylsulfinyl, alkylsulfonyl or mercapto;

R<sub>4</sub> is one of hydrogen or methyl;

R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub> and R<sub>10</sub> are each hydrogen; and

the dotted lines all represent single bonds.

25. A compound of claim 22, wherein:

R is hydrogen, halogen, lower alkoxy, alkynyl or substituted alkynyl; and

R<sub>3</sub> is one of -C(O)-CH<sub>2</sub>-Y-G, -C(O)-CH<sub>2</sub>-O-D, -C(O)-CH<sub>2</sub>-O-E,  
-C(O)-CH<sub>2</sub>-Y'-Z-G or -C(O)-CH<sub>2</sub>-Y'-Z-A.

26. A compound of claim 25, wherein R<sub>3</sub> is one of -C(O)-CH<sub>2</sub>-Y-G,

-C(O)-CH<sub>2</sub>-O-D or -C(O)-CH<sub>2</sub>-O-E.

27. A compound of claim 26, which is 3 $\alpha$ -hydroxy-3 $\beta$ -(4-

hydroxybutynyl)-21-(pyrid-4-ylthio)-5 $\beta$ -pregnan-20-one; 3 $\alpha$ -hydroxy-21-(pyrid-4-yloxy)-5 $\beta$ -pregnan-20-one; 3 $\alpha$ -hydroxy-2 $\beta$ -propoxy-21-(pyrid-4-ylthio)-5 $\alpha$ -pregnan-20-one N-methyl iodide; 3 $\alpha$ -hydroxy-21-(pyrid-4-ylthio)-5 $\alpha$ -pregnan-20-one N-methyl iodide; 3 $\alpha$ -hydroxy-21-(pyrid-4-ylthio)-5 $\beta$ -pregnan-20-one N-methyl iodide; 3 $\alpha$ -hydroxy-3 $\beta$ -methoxymethyl-21-(pyrid-4-ylthio)-5 $\alpha$ -pregnan-20-one; 21-(4'-dimethylaminophenylthio)-3 $\alpha$ -hydroxy-3 $\beta$ -methoxymethyl-5 $\alpha$ -pregnan-20-one; 3 $\alpha$ -hydroxy-3 $\beta$ -methoxymethyl-21-(4'-nitrophenylthio)-5 $\alpha$ -pregnan-20-one; 3 $\alpha$ -hydroxy-3 $\beta$ -methoxymethyl-21-(4'-nitrophenylsulfinyl)-5 $\alpha$ -pregnan-20-one; 3 $\alpha$ -hydroxy-3 $\beta$ -methoxymethyl-21-(4'-nitrophenylsulfonyl)-5 $\alpha$ -pregnan-20-one; 21-(4'-dimethylaminophenoxy)-3 $\alpha$ -hydroxy-3 $\beta$ -methyl-5 $\alpha$ -pregnan-20-one; 3 $\alpha$ -hydroxy-3 $\beta$ -methyl-21-(4'-nitrophenoxy)-5 $\alpha$ -pregnan-20-one; 3 $\alpha$ -hydroxy-3 $\beta$ -methyl-21-(4'-trimethylammoniumphenoxy)-5 $\alpha$ -pregnan-20-one iodide salt; 21-(4'-fluorophenylthio)-3 $\alpha$ -hydroxy-3 $\beta$ -methoxymethyl-5 $\alpha$ -pregnan-20-one; 3 $\beta$ -ethynyl-3 $\alpha$ -hydroxy-21-(pyrid-4-ylthio)-5 $\alpha$ -pregnan-20-one; 3 $\beta$ -(4'-acetylphenyl)ethynyl-3 $\alpha$ -hydroxy-21-(pyrid-4-ylthio)-5 $\beta$ -pregnan-20-one; 3 $\alpha$ -hydroxy-2 $\beta$ -propoxy-21-(4'-N,N,N-trimethylammoniumphenoxy)-5 $\alpha$ -pregnan-20-one iodide salt; 3 $\alpha$ -hydroxy-3 $\beta$ -methyl-21-(quinolin-6-yloxy)-5 $\alpha$ -pregnan-20-one N-methyl iodide; 3 $\alpha$ -hydroxy-3 $\beta$ -methyl-21-(quinolin-6-yloxy)-5 $\alpha$ -pregnan-20-one; 21-(4'-fluorophenyl)sulfonyl-3 $\alpha$ -hydroxy-3 $\beta$ -

methoxymethyl-5 $\alpha$ -pregnan-20-one; 3 $\alpha$ -hydroxy-3 $\beta$ -methoxymethyl-21-(4'-pyrrolidinophenyl)sulfonyl-5 $\alpha$ -pregnan-20-one or 21-(4'-aminophenylthio)-3 $\alpha$ -hydroxy-3 $\beta$ -methoxymethyl-5 $\alpha$ -pregnan-20-one.

28. A compound of claim 25, wherein R<sub>2</sub> is -C(O)-CH<sub>2</sub>-Y'-Z-A, and R<sub>1</sub> is other than hydrogen or alkyl.

29. A compound of claim 28, which is 3 $\alpha$ -hydroxy-2 $\beta$ -propoxy-21-thiopropanesulfonate-5 $\alpha$ -pregnan-20-one sodium salt; 3 $\beta$ -ethynyl-3 $\alpha$ -hydroxy-21-(3'-hydroxypropylthio)-5 $\beta$ -pregnan-20-one; 3 $\beta$ -ethynyl-3 $\alpha$ -hydroxy-21-(thiopropanesulfate)-5 $\beta$ -pregnan-20-one sodium salt; 3 $\beta$ -ethynyl-3 $\alpha$ -hydroxy-21-(2'-hydroxyethylthio)-5 $\beta$ -pregnan-20-one; 3 $\beta$ -ethynyl-3 $\alpha$ -hydroxy-21-thioethanesulfate-5 $\beta$ -pregnan-20-one trimethylammonium salt; 3 $\beta$ -ethynyl-3 $\alpha$ -hydroxy-21-thiopropanesulfonate-5 $\beta$ -pregnan-20-one sodium salt; 3 $\beta$ -ethynyl-3 $\alpha$ -hydroxy-21-(3'-hydroxypropylsulfonyl)-5 $\beta$ -pregnan-20-one; 3 $\alpha$ -hydroxy-21-(3'-hydroxypropylthio))-2 $\beta$ -propoxy-5 $\alpha$ -pregnan-20-one; 3 $\alpha$ -hydroxy-21-(3'-hydroxypropylsulfonyl)-2 $\beta$ -propoxy-5 $\alpha$ -pregnan-20-one; 3 $\alpha$ -hydroxy-2 $\beta$ -propoxy-21-sulfonylpropanesulfate-5 $\alpha$ -pregnan-20-one sodium salt; or 3 $\alpha$ -hydroxy-21-(2'-hydroxyethylthio)-5 $\beta$ -pregnan-20-one.

30. The compound of claim 1, wherein:

R is one of hydrogen, amino, thio, sulfinyl, sulfonyl, halogen, lower alkoxy, alkenyl, alkynyl or substituted alkynyl;

R<sub>1</sub> is one of alkenyl, alkynyl, trihaloalkyl, optionally substituted aralkynyl, alkoxyalkyl, aminoalkyl, cyano, thiocynoalkyl, azidoalkyl, optionally substituted arylalkyl, arylalkenyl, optionally substituted aryl, optionally substituted aralkylalkynyl, alkanoyloxyalkynyl, optionally substituted heteroaryloxyalkynyl, oxoalkynyl or a ketal thereof, cyanoalkynyl, optionally substituted heteroarylalkynyl, hydroxyalkynyl, alkoxyalkynyl, aminoalkynyl,

acylaminoalkynyl, mercaptoalkynyl, hydroxyalkynyl dioic acid hemi-ester or a salt thereof, or alkynyloxyalkynyl;

R<sub>2</sub> is one of alkoxy, a keto group or a dimethylamino group;

R<sub>3</sub> is hydrogen;

R<sub>4</sub> is one of hydrogen or methyl;

R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub> and R<sub>10</sub> are each hydrogen; and  
the dotted lines all represent single bonds.

31. The compound of claim 30, wherein:

R is hydrogen, halogen, lower alkoxy, alkynyl or substituted alkynyl.

32. The compound of claim 30, wherein R<sub>1</sub> is one of substituted arylethynyl, cyanoalkynyl, oxoalkynyl, hydroxyalkynyl, alkanoyloxyalkynyl, alkynyloxyalkynyl, alkoxyalkynyl, heteroaryloxyalkynyl or heteroarylalkynyl.

33. The compound of claim 30, wherein R<sub>1</sub> is one of alkenyl, optionally substituted aryl, optionally substituted arylalkyl, trihalomethyl, halomethyl or alkoxyalkyl.

34. A pharmaceutical composition comprising the compound of claim 1 and a pharmaceutically acceptable carrier.

35. A method of modulating the GABA<sub>A</sub> receptor-chloride ionophore complex in an animal subject through binding to the neurosteroid site on said complex, comprising administering to said animal subject an amount effective to modulate said complex of a compound of claim 1.

36. A method of treating or preventing stress or anxiety in an animal subject, comprising administering to said animal subject in need of such treatment an effective amount of a compound of claim 1.

37. A method of alleviating or preventing seizure activity in an animal subject, comprising administering to said animal subject in need of such treatment an effective amount of a compound of claim 1.

38. A method of alleviating or preventing insomnia in an animal subject, comprising administering to said animal subject in need of such treatment an effective amount of a compound of claim 1.

39. A method of inducing sleep and maintaining substantially the level of REM sleep that is found in normal sleep, wherein substantial rebound insomnia is not induced, comprising administering an effective amount of a compound of claim 1.

40. A method of alleviating or preventing PMS or PND in an animal subject, comprising administering to said animal subject in need of such treatment an effective amount of a compound of claim 1.

41. A method of treating or preventing mood disorders in an animal subject, comprising administering to said animal subject in need of such treatment an effective amount of a compound of claim 1.

42. The method of claim 41, wherein said mood disorder is depression.

43. A method of inducing anesthesia in an animal subject, comprising administering to said animal subject an effective amount of a compound of claim 1.

44. The method of any one of claims 35-43, wherein said compound is a pharmaceutically acceptable 3-ester or 3-diester of an acid selected from the

group consisting of acetic, propionic, maleic, fumaric, ascorbic, pimelic, succinic, glutaric, bismethylenesalicylic, methanesulfonic, ethane-di-sulfonic, oxalic, tartaric, salicylic, citric, gluconic, itaconic, glycolic, *p*-aminobenzoic, aspartic, glutamic,  $\gamma$ -amino-butyric,  $\alpha$ -(2-hydroxyethylamino)-propionic, glycine and other  $\alpha$ -amino acids, phosphoric, sulfuric, glucuronic, and 1-methyl-1,4-dihydronicotinic.

45. The method of any one of claims 35-43, wherein said effective amount is from about 1 mg to about 100 mg per dosage unit when administered intravenously and from about 100 mg to about 500 mg per dosage unit when administered non-intravenously.

add A1

add B5

add C5

add D4

add E4